Kn

Day : Friday
Date: 9/17/2004

Time: 14:24:26

PALM INTRANET

Inventor Information for 10/692355

Inventor Name	City	State/Country
DAVIES, ROBERT	ARLINGTON	MASSACHUSETTS
BEBBINGTON, DAVID	BERKSHIRE	UNITED KINGDOM
KNEGTEL, RONALD	ABINGDOM	UNITED KINGDOM
WANNAMAKER, MARION	STOW	MASSACHUSETTS
LI, PAN	ARLINGTON	MASSACHUSETTS
FORSTER, CORNELIA	PELHAM	NEW HAMPSHIRE
PIERCE, ALBERT	SOMERVILLE	MASSACHUSETTS

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity Data | Foreign Data

Search Another: Application# ☐ Search **or Patent#** ☐ Search

PCT / ☐ / ☐ Search **or PG PUBS #** ☐ Search

Attorney Docket # ☐ Search

Bar Code # ☐ Search

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L Number	Hits	Search Text	DB	Time stamp
5	4538	544/298, 544/328, 544/295, 544/122, 540/601, 514/217.06, 514/235.8, 514/252.19, 514/275	USPAT	2004/09/17 14:22
6	144	GSK	USPAT	2004/09/17 14:23
7	16	(544/298, 544/328, 544/295, 544/122, 540/601, 514/217.06, 514/235.8, 514/252.19, 514/275) and GSK	USPAT	2004/09/17 14:27
8	1	"6656939"	USPAT	2004/09/17 14:28
9	1	"6727251"	USPAT	2004/09/17 14:28
10	1	"6653301"	USPAT	2004/09/17 14:29
11	1	"6653300"	USPAT	2004/09/17 14:29
12	1	"6664247"	USPAT	2004/09/17 14:30
13	1	"6787541"	USPAT	2004/09/17 14:30
14	1	"6689784"	USPAT	2004/09/17 14:30

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5	4538	544/298, 544/328, 544/295, 544/122, 540/601, 514/217.06, 514/235.8, 514/252.19, 514/275	USPAT	2004/09/17 14:22
6	144	GSK	USPAT	2004/09/17 14:23
7	16	(544/298, 544/328, 544/295, 544/122, 540/601, 514/217.06, 514/235.8, 514/252.19, 514/275) and GSK	USPAT	2004/09/17 14:23

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4-12  7-14  9-12  12-13
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-11  8-9  9-10  10-11  15-16  15-20  16-17
17-18  18-19  19-20
exact/norm bonds :
4-12  7-8  7-11  8-9  9-10  9-12  10-11
exact bonds :
7-14  12-13
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  15-16  15-20  16-17  17-18  18-19  19-20


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:CLASS  13:CLASS  14:CLASS  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
20:Atom  21:CLASS




L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1                STR
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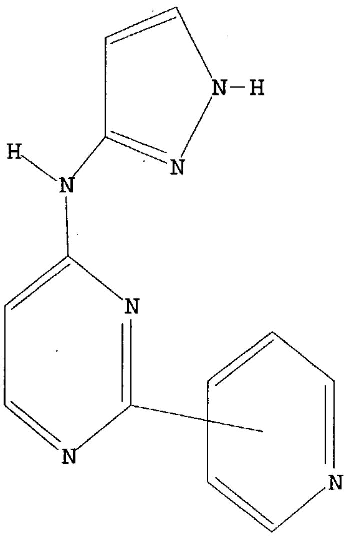

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Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -      12 TO ITERATE

100.0% PROCESSED      12 ITERATIONS                              1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
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                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:            33 TO      447
PROJECTED ANSWERS:                1 TO       80

L2            1 SEA SSS SAM L1

=> s l1 sss full
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FULL SCREEN SEARCH COMPLETED -    267 TO ITERATE

100.0% PROCESSED     267 ITERATIONS                           16 ANSWERS
SEARCH TIME: 00.00.01

L3           16 SEA SSS FUL L1

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COST IN U.S. DOLLARS                               SINCE FILE      TOTAL
                                                        ENTRY    SESSION
FULL ESTIMATED COST                                    155.42     155.63

FILE 'CAPLUS' ENTERED AT 13:10:17 ON 17 SEP 2004
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FILE COVERS 1907 - 17 Sep 2004  VOL 141 ISS 13
FILE LAST UPDATED: 16 Sep 2004  (20040916/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L4          10 L3

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L4   ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2002:849586  CAPLUS
DOCUMENT NUMBER:          137:370099
TITLE:                    Preparation of 3-aminopyrazolo[3,4-c]pyridazines as
                          inhibitors of glycogen synthase kinase-3 and crystal
                          structures of gsk-3β protein and protein
                          complexes
INVENTOR(S):              Ter Haar, Ernst; Swenson, Lovorka; Green, Jeremy;
                          Arnost, Michael J.
PATENT ASSIGNEE(S):       Vertex Pharmaceuticals Incorporated, USA
SOURCE:                   PCT Int. Appl., 778 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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     WO 2002088078         A3    20040506
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003125332         A1    20030703    US 2002-135255        20020429
     EP 1435957            A2    20040714    EP 2002-729056        20020429
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:                       US 2001-287366P    P  20010430

                                             US 2001-297094P    P  20010608

                                             US 2002-361899P    P  20020227

                                             WO 2002-US13511    W  20020429

OTHER SOURCE(S):          MARPAT 137:370099
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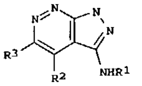

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L4   ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN      (Continued)
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L4   ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN      (Continued)
AB   Title compds. [I; R1 = H, RCO, RO2C, (substituted) aliphatyl,
carbocyclyl,
     heterocyclyl, heteroaryl, etc.; R2, R3 = H, (substituted) aliphatyl,
     carbocyclyl, heterocyclyl, aryl, aralkyl, heteroaryl, heteroaralkyl, NR2,
     NRCOR, SR, OR, CF3, halo, NO2, cyano, etc.; R = H, (substituted)
     aliphatyl, carbocyclyl, heterocyclyl, aryl, aralkyl, heteroaryl,
     heteroaralkyl], were prepared  Thus,
3-chloro-4-cyano-5,6-diphenylpyridazine
     was refluxed with N2H4 in EtOH to give 3-amino-4,5-diphenyl-1H-
     pyrazolo[3,4-c]pyridazine.  The latter inhibited gsk-3 with Ki≤0.1
     μM.
IT   474381-74-3P
     RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
        (crystal structure determination; preparation of pyrazolopyridazines
as inhibitors
        of gsk-3 and crystal structures of gsk-3β protein and protein
        complexes)
RN   474381-74-3  CAPLUS
CN   Kinase (phosphorylating), glycogen synthetase (human isoenzyme 3β),
     compd. with
N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-4-quinazolinamine
     (1:1) (9CI)  (CA INDEX NAME)

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     CRN  474231-10-2
     CMF  Unspecified
     CCI  MAN

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     CMF  C17 H14 N6
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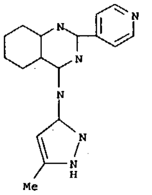

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L4   ANSWER 2 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2002:465821  CAPLUS
DOCUMENT NUMBER:          137:47211
TITLE:                    Substituted 2-aryl-4-arylaminopyrimidines and analogs
                          as activators of caspases and inducers of apoptosis,
                          their preparation, and the use thereof as, e.g.,
                          anticancer agents
INVENTOR(S):              Cai, Sui Xiong; Drewe, John A.; Nguyen, Bao; Reddy,
P.
                          Sanjeeva; Pervin, Azra
PATENT ASSIGNEE(S):       Cytovia, Inc., USA
SOURCE:                   PCT Int. Appl., 210 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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     WO 2002047690         A1    20020620    WO 2001-US47498       20011212
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002028922         A5    20020624    AU 2002-28922         20011212
     US 2003069239         A1    20030410    US 2001-12444         20011212
     US 6716851            B2    20040406
     EP 1351691            A1    20031015    EP 2001-990048        20011212
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     US 2004097503         A1    20040520    US 2003-704448        20031110
PRIORITY APPLN. INFO.:                       US 2000-254581P    P  20001212

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                                             WO 2001-US47498    W  20011212

OTHER SOURCE(S):          MARPAT 137:47211
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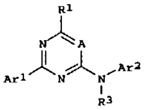

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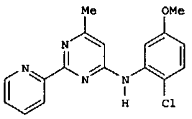

II

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AB   The invention is directed to substituted 2-aryl-4-(arylamino)pyrimidines
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L4   ANSWER 2 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN     (Continued)
     and analogs thereof [Ar1, Ar2 = (independently) optionally substituted
     aryl or heteroaryl; A = N or C-R2; R1, R2 = (independently) H, halo,
     haloalkyl, aryl, fused aryl, carbocyclic, heterocyclic, heteroaryl,
alkyl,
     alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl,
     heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl,
     hydroxyalkyl, nitro, amino, cyano, acylamido, OH, SH, acyloxy, N3,
alkoxy,
     aryloxy, arylalkoxy, haloalkoxy, CO2H, carbonylamido, or alkylthio; and
R3
     = H, optionally substituted alkyl or cycloalkyl].  The invention also
     relates to the discovery that compds. I are activators of caspases and
     inducers of apoptosis.  I may be used to induce cell death in a variety
of
     clin. conditions in which uncontrolled growth and spread of abnormal
cells
     occurs.  In particular, a method of treating disorders responsive to the
     induction of apoptosis, comprising administration of I, or a
     pharmaceutically acceptable salt or prodrug thereof, is claimed.  Over
200
     specific examples of I are described.  For instance, condensation of
     4-chloro-6-methyl-2-(2-pyridinyl)pyrimidine with
2-chloro-5-methoxyaniline
     gave title compd. II in 44% yield.  This compd. induced apoptosis and
     activated caspase cascade in human breast cancer cell lines T-47D and
     ZR-75-1.  Another compd. I also showed marked selectivity for human
breast
     cancer cells over other, non-breast cancer cell lines.
IT   438249-08-2P, 4-(1H-Pyrazol-3-ylamino)-2-(3-pyridinyl)-6-
     (trifluoromethyl)pyrimidine
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of substituted
aryl(arylamino)pyrimidines and
        analogs as caspase activators, apoptosis inducers, and anticancer
        agents)
RN   438249-08-2  CAPLUS
CN   4-Pyrimidinamine, N-1H-pyrazol-3-yl-2-(3-pyridinyl)-6-(trifluoromethyl)-
     (9CI)  (CA INDEX NAME)
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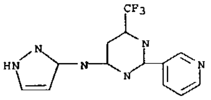

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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT:         2      THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT
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L4   ANSWER 3 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2002:220584  CAPLUS
DOCUMENT NUMBER:          136:247584
TITLE:                    Preparation of pyrazolamines and analogs as protein
                          kinase inhibitors for treatment of cancer, diabetes,
                          and Alzheimer's disease
INVENTOR(S):              Bebbington, David; Knegtel, Ronald; Golec, Julian M.
                          C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien
PATENT ASSIGNEE(S):       Vertex Pharmaceuticals Incorporated, USA
SOURCE:                   PCT Int. Appl., 356 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   14
PATENT INFORMATION:

     PATENT NO.          KIND  DATE          APPLICATION NO.       DATE
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     WO 2002022608        A1   20020321      WO 2001-US42152       20010914
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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     AU 2001096871        A5   20020326      AU 2001-96871         20010914
     US 2003055044        A1   20030320      US 2001-953505        20010914
     US 6638926           B2   20031028
     US 2003064981        A1   20030403      US 2001-952836        20010914
     US 6613776           B2   20030902
     US 2003064982        A1   20030403      US 2001-952875        20010914
     US 2003073687        A1   20030417      US 2001-952671        20010914
     US 6660731           B2   20031209
     US 2003078166        A1   20030424      US 2001-955601        20010914
     US 6696452           B2   20040224
     US 2003083327        A1   20030501      US 2001-952833        20010914
     US 6610677           B2   20030826
     EP 1317452           A1   20030611      EP 2001-977779        20010914
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     ZA 2003001703        A    20040302      ZA 2003-1703          20010914
     JP 2004509118        T2   20040325      JP 2002-526861        20010914
     US 2004097501        A1   20040520      US 2001-953471        20010914
     EP 1345922           A1   20030924      EP 2001-271061        20011219
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     NZ 526472            A    20040430      NZ 2001-526472        20011219
     JP 2004518743        T2   20040624      JP 2002-565976        20011219
     JP 2004519479        T2   20040702      JP 2002-567928        20011219
     ZA 2003001697        A    20040301      ZA 2003-1697          20030228
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     ZA 2003001702        A    20040301      ZA 2003-1702          20030228
     ZA 2003001704        A    20040301      ZA 2003-1704          20030228
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L4   ANSWER 2 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN     (Continued)
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L4   ANSWER 3 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN     (Continued)
     ZA 2003001698        A    20040302      ZA 2003-1698          20030228
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     NO 2003002704        A    20030821      NO 2003-2704          20030613
     US 2004116454        A1   20040617      US 2003-692355        20031023
     US 2004157893        A1   20040812      US 2003-722374        20031125
     US 2004132781        A1   20040708      US 2003-736426        20031215
     US 2004167141        A1   20040826      US 2004-775699        20040210
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                                             US 2001-286949P    P  20010427

                                             US 2001-955601     A3 20010914

                                             WO 2001-US42152    W  20010914

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                                             WO 2001-US50312    W  20011219

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OTHER SOURCE(S):          MARPAT 136:247584
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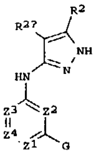

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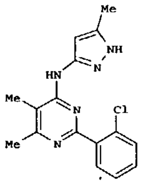

II

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AB   Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted
     Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl;
     Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl,
     heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3
     = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken
     together with their intervening atoms form an (un)saturated fused ring
having
     1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a =
     (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or
     alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO,
     CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6,
     C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted
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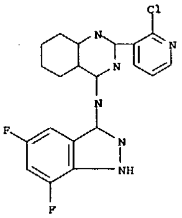
L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
aliph., (hetero)aryl, or heterocyclyl ring; R3·= R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-24-3P**, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine **404828-10-0P**, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine **404828-11-1P**, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine **404828-12-2P**, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine **404828-37-1P**, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine **404828-45-1P**, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine **404828-50-8P**, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine **404829-54-5P**, (5-Methyl-2H-pyrazol-3-yl)-(2-pyridin-4-ylthieno[3,2-d]pyrimidin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-24-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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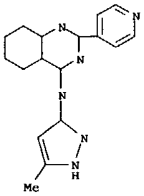

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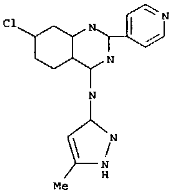
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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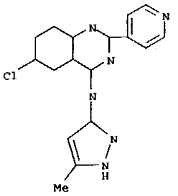

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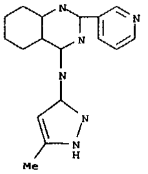
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
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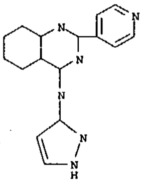
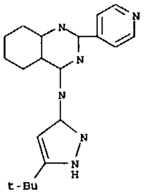
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



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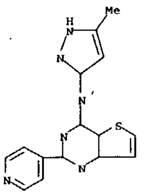
RN 404829-54-5 CAPLUS
CN Thieno[3,2-d]pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2002:220583 CAPLUS
DOCUMENT NUMBER:	136:247583
TITLE:	Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S):	Davies, Robert; Bebbington, David; Knegtel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David
PATENT ASSIGNEE(S):	Vertex Pharmaceuticals Incorporated, USA
SOURCE:	PCT Int. Appl., 373 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022607	A1	20020321	WO 2001-US28940	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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AU 2001091013	A5	20020326	AU 2001-91013	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
BR 2001014088	A	20030617	BR 2001-14088	20010914
EP 1318997	A1	20030618	EP 2001-971082	20010914
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ZA 2003001703	A	20040302	ZA 2003-1703	20010914
JP 2004509117	T2	20040325	JP 2002-526860	20010914
US 2004097501	A1	20040520	US 2001-953471	20010914
EP 1345922	A1	20030924	EP 2001-271061	20011219
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NZ 526472	A	20040430	NZ 2001-526472	20011219

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004518743	T2	20040624	JP 2002-565976	20011219
JP 2004519479	T2	20040702	JP 2002-567928	20011219
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ZA 2003001699	A	20040301	ZA 2003-1699	20030228
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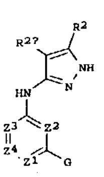
NO 2003001191	A	20030513	NO 2003-1191	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613
US 2004116454	A1	20040617	US 2003-692355	20031023
US 2004157893	A1	20040812	US 2003-722374	20031125
US 2004132781	A1	20040708	US 2003-736426	20031215
US 2004167141	A1	20040826	US 2004-775699	20040210

PRIORITY APPLN. INFO.:

US 2000-232795P	P	20000915
US 2000-257887P	P	20001221
US 2001-286949P	P	20010427
US 2001-955601	A3	20010914
WO 2001-US28940	W	20010914
US 2001-26966	A1	20011219
WO 2001-US49139	W	20011219
WO 2001-US50312	W	20011219
US 2001-34019	A3	20011220
US 2001-34683	A1	20011220

OTHER SOURCE(S): MARPAT 136:247583

GI



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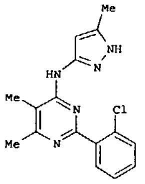


II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring C]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-24-3P**, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine **404828-10-0P**, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine **404828-11-1P**, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine **404828-12-2P**, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine **404828-37-1P**, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine **404828-45-1P**, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine **404828-50-8P**, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine **404829-54-5P**, (5-Methyl-2H-pyrazol-3-yl)-(2-pyridin-4-ylthieno[3,2-d]pyrimidin-4-yl)amine **404873-42-3P** **404873-43-4P** **404873-44-5P** **404873-47-8P** **404873-48-9P** **404873-49-0P**

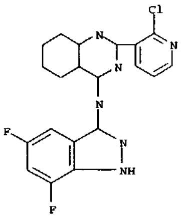
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-24-3 CAPLUS

CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
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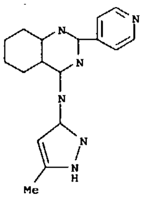
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



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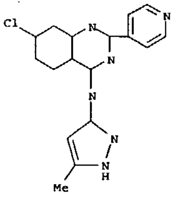
L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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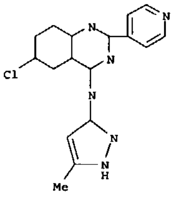

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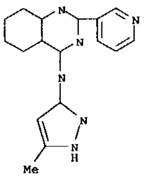
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
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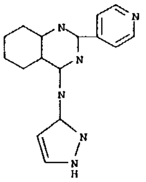

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
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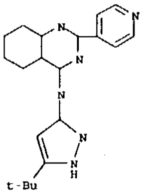
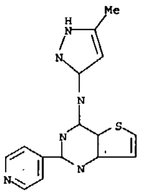


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 404829-54-5 CAPLUS
CN Thieno[3,2-d]pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



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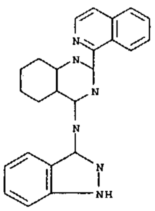
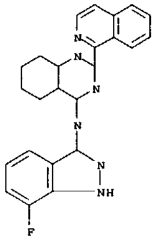


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404873-43-4 CAPLUS
CN 4-Quinazolinamine, N-(7-fluoro-1H-indazol-3-yl)-2-(1-isoquinolinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404873-44-5 CAPLUS
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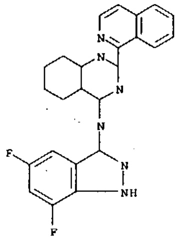
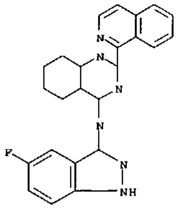


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404873-47-8 CAPLUS
CN 4-Quinazolinamine, 2-(1-isoquinolinyl)-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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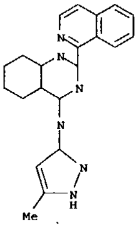
L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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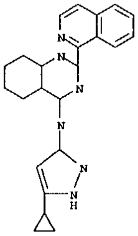

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Own work

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

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ACCESSION NUMBER:        2002:220582  CAPLUS
DOCUMENT NUMBER:         136:247582
TITLE:                   Preparation of pyrazolamines and analogs as protein
                         kinase inhibitors for treatment of cancer, diabetes,
                         and Alzheimer's disease
INVENTOR(S):             Bebbington, David; Binch, Hayley; Knegtel, Ronald;
                         Golec, Julian M. C.; Patel, Sanjay; Charrier,
                         Jean-Damien; Kay, David; Davies, Robert; Li, Pan;
                         Wannamaker, Marion; Forster, Cornelia; Pierce, Albert
PATENT ASSIGNEE(S):      Vertex Pharmaceuticals Incorporated, USA
SOURCE:                  PCT Int. Appl., 355 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  14
PATENT INFORMATION:

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OTHER SOURCE(S):         MARPAT 136:247582
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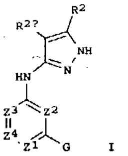

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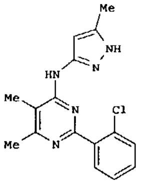

II

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AB   Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted
     Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl;
     Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl,
     heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3
     = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken
     together with their intervening atoms form an (un)saturated fused ring
having
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L4   ANSWER 5 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN      (Continued)
     1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a =
     (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or
     alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO,
     CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6,
     C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted
     aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR,
     CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2,
OCOR,
     NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2,
     NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),
CON(R7)2,
     or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =
     independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl
     or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR,
     COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as
     inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer,
     diabetes, and Alzheimer's disease.  Claims cover
     (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3
     = CRx; Z4 = CRy; G = Ring D].  Examples include data for approx. 300
     invention compds. prepd. by a variety of synthetic methods and bioassay
     results for the inhibition of GSK-β3, Aurora-2, ERK, and Src.  For
     instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and
exhibited
     Ki values of < 0.1 μM for glycogen synthetase kinase 3β
     (GSK-3β) and 0.1-1.0 μM for Aurora-2.
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     (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine
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     404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-
     yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-
     4-ylquinazolin-4-yl)amine 404829-54-5P, (5-Methyl-2H-pyrazol-3-
     yl)-(2-pyridin-4-ylthieno[3,2-d]pyrimidin-4-yl)amine
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (protein kinase inhibitor; preparation of heterocyclylpyrazolamines
and
        analogs as protein kinase inhibitors for treatment of cancer,
diabetes,
        and Alzheimer's disease)
RN   404827-24-3  CAPLUS
CN   4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-
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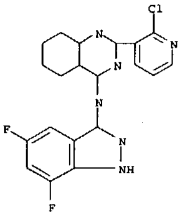

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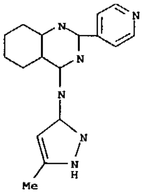

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L4   ANSWER 5 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN      (Continued)
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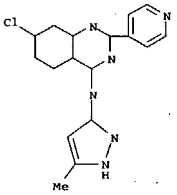

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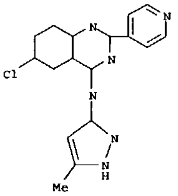

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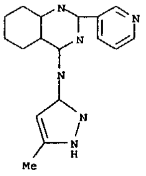

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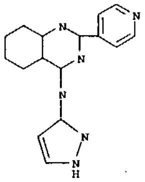

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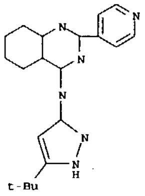

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L4   ANSWER 5 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN      (Continued)
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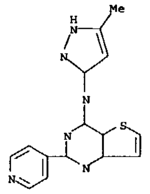

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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
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L4   ANSWER 6 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        2002:220581  CAPLUS
DOCUMENT NUMBER:         136:247581
TITLE:                   Preparation of pyrazolamines and analogs as protein
                         kinase inhibitors for treatment of cancer, diabetes,
                         and Alzheimer's disease
INVENTOR(S):             Golec, Julian M. C.; Charrier, Jean-Damien; Knegtel,
                         Ronald; Bebbington, David; Davies, Robert; Li, Pan
PATENT ASSIGNEE(S):      Vertex Pharmaceuticals Incorporated, USA
SOURCE:                  PCT Int. Appl., 357 pp.
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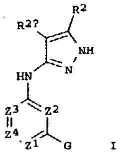

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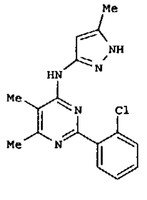

II

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AB   Title compds. I (wherein G = Ring C or Ring D; Ring C = (un)substituted
     Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl;
     Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl,
     heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3
     = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken
     together with their intervening atoms form an (un)saturated fused ring
having
     1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a =
     (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or
     alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO,
     CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6,
     C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted
     aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR,
```

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-24-3P**, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine **404828-10-0P**, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine **404828-11-1P**, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine **404828-12-2P**, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine **404828-37-1P**, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine **404828-45-1P**, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine **404828-50-8P**, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine **404829-54-5P**, (5-Methyl-2H-pyrazol-3-yl)-(2-pyridin-4-ylthieno[3,2-d]pyrimidin-4-yl)amine

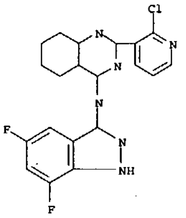
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-24-3 CAPLUS

CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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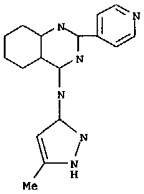

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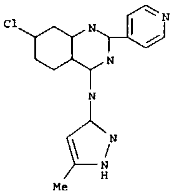
RN 404828-11-1 CAPLUS

CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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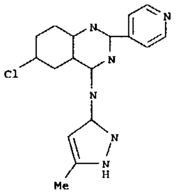

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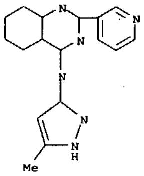
RN 404828-37-1 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-45-1 CAPLUS

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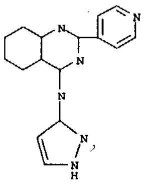

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RN 404828-50-8 CAPLUS

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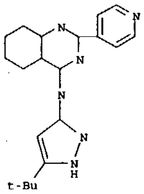

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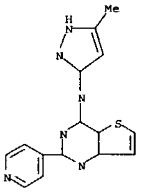
RN 404829-54-5 CAPLUS

CN Thieno[3,2-d]pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:220580 CAPLUS
DOCUMENT NUMBER: 136:247606
TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.
INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

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     WO 2002022604        A1    20020321   WO 2001-US28792        20010914
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
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L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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     US 2004132781        A1    20040708   US 2003-736426         20031215
     US 2004167141        A1    20040826   US 2004-775699         20040210
PRIORITY APPLN. INFO.:                     US 2000-232795P     P  20000915

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                                           WO 2001-US50312     W  20011219

                                           US 2001-34019       A3 20011220

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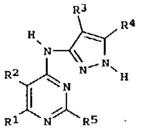
OTHER SOURCE(S): MARPAT 136:247606
GI

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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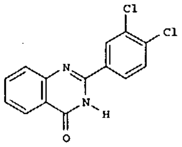

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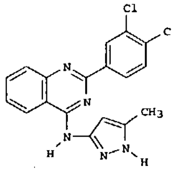

III

AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3β (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

IT **404827-24-3P 404828-10-0P 404828-11-1P**
404828-12-2P 404828-37-1P 404828-45-1P
404828-50-8P 404829-54-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 404827-24-3 CAPLUS
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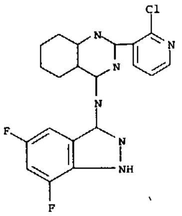

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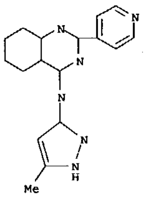

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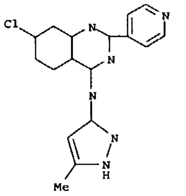
L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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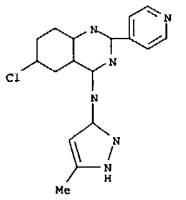

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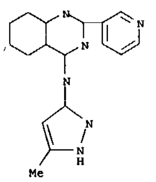
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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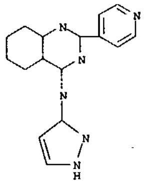

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RN 404828-50-8 CAPLUS
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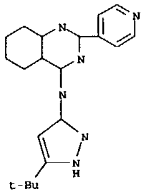
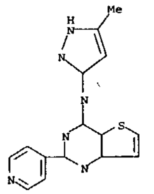


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 404829-54-5 CAPLUS
CN Thieno[3,2-d]pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:220579 CAPLUS
DOCUMENT NUMBER: 136:247580
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 406 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022603	A1	20020321	WO 2001-US28738	20010914
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US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
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EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
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JP 2004519479	T2	20040702	JP 2002-567928	20011219
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US 2004116454	A1	20040617	US 2003-692355	20031023
US 2004157893	A1	20040812	US 2003-722374	20031125
US 2004132781	A1	20040708	US 2003-736426	20031215
US 2004167141	A1	20040826	US 2004-775699	20040210

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PRIORITY APPLN. INFO.:		
US 2000-232795P	P	20000915
US 2000-257887P	P	20001221
US 2001-286949P	P	20010427
US 2001-955601	A3	20010914
WO 2001-US28738	W	20010914
US 2001-26966	A1	20011219
WO 2001-US49139	W	20011219
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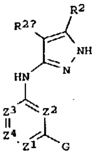
US 2001-34683	A1	20011220

OTHER SOURCE(S): MARPAT 136:247580
GI



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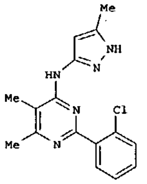


II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken

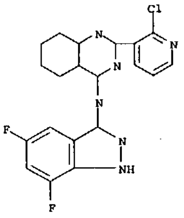
L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-24-3P**, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine **404828-10-0P**, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine **404828-11-1P**, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine **404828-12-2P**, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine **404828-37-1P**, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine **404828-45-1P**, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine **404828-50-8P**, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine **404829-54-5P**, (5-Methyl-2H-pyrazol-3-yl)-(2-pyridin-4-ylthieno[3,2-d]pyrimidin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-24-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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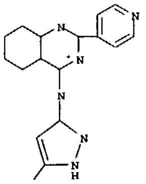

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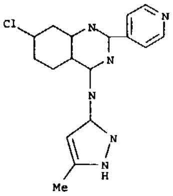
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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RN 404828-12-2 CAPLUS
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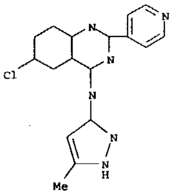

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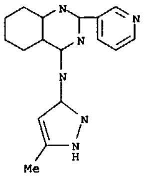
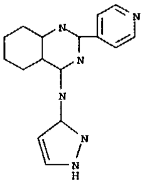
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



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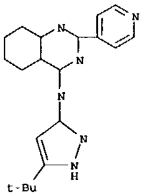
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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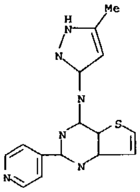
RN 404829-54-5 CAPLUS
CN Thieno[3,2-d]pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4   ANSWER 9 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        2002:220578  CAPLUS
DOCUMENT NUMBER:         136:263164
TITLE:                   Preparation of triazolamines as protein kinase
                         inhibitors for treatment of cancer, diabetes, and
                         Alzheimer's disease
INVENTOR(S):             Bebbington, David; Knegtel, Ronald; Binch, Haley;
                         Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien
PATENT ASSIGNEE(S):      Vertex Pharmaceuticals Incorporated, USA
SOURCE:                  PCT Int. Appl., 377 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  14
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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     US 2004157893          A1      20040812    US 2003-722374          20031125
     US 2004132781          A1      20040708    US 2003-736426          20031215
     US 2004167141          A1      20040826    US 2004-775699          20040210
PRIORITY APPLN. INFO.:                          US 2000-232795P      P  20000915

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                                                WO 2001-US42162      W  20010914

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                                                WO 2001-US49139      W  20011219

                                                WO 2001-US50312      W  20011219

                                                US 2001-34019        A3 20011220

                                                US 2001-34683        A1 20011220

OTHER SOURCE(S):         MARPAT 136:263164
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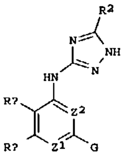
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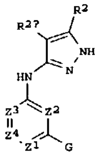
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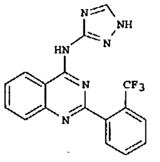
III

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AB   Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring
C
     = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or
     1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring
     selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or
     CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry =
independently
     TR3, or taken together with their intervening atoms form an (un)saturated
     fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R,
     TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3
heteroatoms; T =
     a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2,
     CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO,
     C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or
     (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R,
halo,
     O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2,
     SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR,
     NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7,
     CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or
heteroaryl;
     R6 and R7 = independently H or (un)substituted aliphatic group; or
N(R6)2 =
     heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 =
     R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase
     inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating
     diseases such as cancer, diabetes, and Alzheimer's disease.  Claims cover
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L4   ANSWER 9 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN      (Continued)
     (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is
     defined above].  Examples include data for approx. 300 invention compds.
     prepd. by a variety of synthetic methods and bioassay results for the
     inhibition of GSK-β3, Aurora-2, ERK, and Src.  For instance, the
     N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepd. and exhibited
     Ki values of < 0.1 μM for glycogen synthetase kinase 3β
     (GSK-3β) and 1.0-20 μM for Aurora-2.
IT   404827-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-
     Difluoro-1H-indazol-3-yl)amine 404828-10-0P,
     (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine
     404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-
     pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-
     ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-37-1P,
     (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine
     404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-
     yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-
     4-ylquinazolin-4-yl)amine 404829-54-5P, (5-Methyl-2H-pyrazol-3-
     yl)-(2-pyridin-4-ylthieno[3,2-d]pyrimidin-4-yl)amine
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (protein kinase inhibitor; preparation of triazolamines,
pyrazolamines, and
        analogs as protein kinase inhibitors for treatment of cancer,
diabetes,
        and Alzheimer's disease)
RN   404827-24-3  CAPLUS
CN   4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-
     yl)- (9CI)  (CA INDEX NAME)
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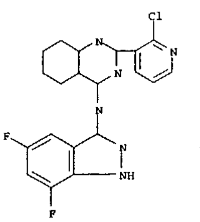

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CN   4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI)
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L4   ANSWER 9 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN      (Continued)
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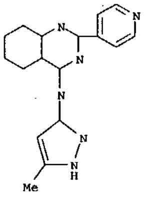

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RN   404828-11-1  CAPLUS
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     (9CI)  (CA INDEX NAME)
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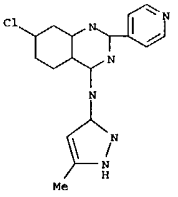

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RN   404828-12-2  CAPLUS
CN   4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-
     (9CI)  (CA INDEX NAME)
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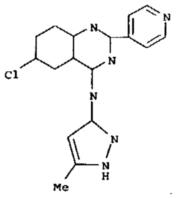
L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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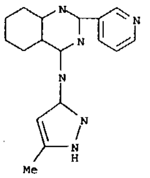
RN 404828-37-1 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



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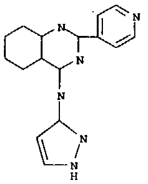
RN 404828-45-1 CAPLUS

CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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RN 404828-50-8 CAPLUS

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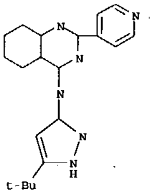

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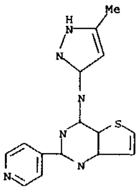
RN 404829-54-5 CAPLUS

CN Thieno[3,2-d]pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

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ACCESSION NUMBER:        2002:220577  CAPLUS
DOCUMENT NUMBER:         136:247579
TITLE:                   Preparation of pyrazolamines and analogs as protein
                         kinase inhibitors for treatment of cancer, diabetes,
                         and Alzheimer's disease
INVENTOR(S):             Knegtel, Ronald; Bebbington, David; Binch, Hayley;
                         Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien;
                         Kay, David; Davies, Robert; Li, Pan; Wannamaker,
                         Marion; Forster, Cornelia; Pierce, Albert
PATENT ASSIGNEE(S):      Vertex Pharmaceuticals Incorporated, USA
SOURCE:                  PCT Int. Appl., 376 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  14
PATENT INFORMATION:

     PATENT NO.          KIND  DATE      APPLICATION NO.       DATE
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     WO 2002022601        A1   20020321  WO 2001-US28740       20010914
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             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
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     US 2004167141        A1     20040826    US 2004-775699        20040210
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                                             US 2001-286949P     P 20010427

                                             US 2001-955601      A3 20010914

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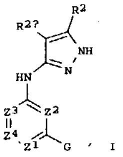
OTHER SOURCE(S): MARPAT 136:247579

GI



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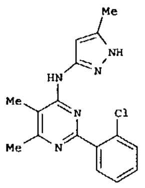


II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRa, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRy; Ra = halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-24-3P**, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine **404828-10-0P**, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine **404828-11-1P**, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine **404828-12-2P**, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine **404828-37-1P**, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine **404828-45-1P**, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine **404828-50-8P**, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine **404829-54-5P**, (5-Methyl-2H-pyrazol-3-yl)-(2-pyridin-4-ylthieno[3,2-d]pyrimidin-4-yl)amine

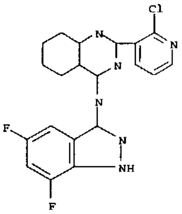
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-24-3 CAPLUS

CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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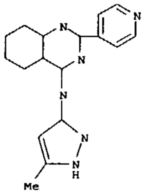

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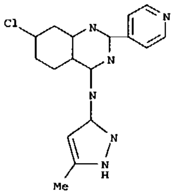
RN 404828-11-1 CAPLUS

CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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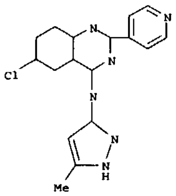

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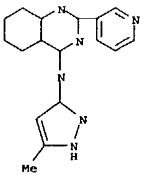

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
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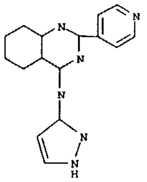
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



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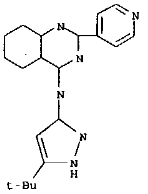

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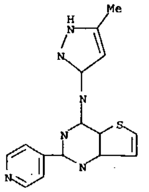
RN 404829-54-5 CAPLUS
CN Thieno[3,2-d]pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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